(19) World Intellectual Property Organization

International Bureau





(43) International Publication Date 1 September 2005 (01.09.2005)

PCT

English

(10) International Publication Number WO 2005/080298 A1

- (51) International Patent Classification⁷: 53/00, C07C 45/63, C07F 7/18
- C07B 39/00,
- (21) International Application Number:

PCT/DK2005/000094

- (22) International Filing Date: 11 February 2005 (11.02.2005)
- (25) Filing Language:
- (26) Publication Language: English
- (30) Priority Data:

19 February 2004 (19.02.2004)	DK
1 June 2004 (01.06.2004)	DK
20 December 2004 (20.12.2004)	DK
11 January 2005 (11.01.2005)	DK
	1 June 2004 (01.06.2004) 20 December 2004 (20.12.2004)

- (71) Applicants and
- (72) Inventors: HALLAND, Nis [DK/DE]; Bonnerstrasse 1, D-65812 Bad Soden (DE). JØRGENSEN, Karl Anker [DK/DK]; Geysergade 6, DK-8200 Aarhus N (DK). MARIGO, Mauro [IT/DK]; Staunsvej 95, DK-8381 Tilst (DK). BRAUNTON, Alan [GB/GB]; 18 Abbeydale Close, Harlow, Essex CM17 9QE (GB). BACHMANN, Stephan [CH/CH]; In den Dürrenmatten, 1, CH-4123 Allschwil (CH). FIELENBACH, Doris [DE/DK]; Jens Chr. Skous Vej 24, DK-8000 Aarhus C (DK).

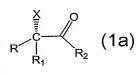
- (74) Agents: RASMUSSEN, Preben et al.; Internationalt Patent-Bureau A/S, Høje Taastrup Boulevard 23, DK-2630 Taastrup (DK).
- (81) Designated States (unless otherwise indicated, for every kind of national protection available): AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW.
- (84) Designated States (unless otherwise indicated, for every kind of regional protection available): ARIPO (BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR), OAPI (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG).

Published:

with international search report

For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.

(54) Title: CATALYTIC ASYMMETRIC SYNTHESIS OF OPTICALLY ACTIVE α -HALO-CARBONYL COMPOUNDS



$$\begin{array}{c}
X \\
C \\
R_1
\end{array}$$
(1b)

$$\begin{array}{c}
H \\
C \\
R_1
\end{array}$$
(2)

(57) Abstract: A process for the catalytic asymmetric synthesis of an optically active compound of the formula (la) or (lb): wherein R is an organic group; X is halogen; R_1 and R_2 which may the same or different represents H, or an organic group or R_1 and R_2 may be bridged together forming part of a ring system; R and R_2 may be bridged together forming part of a ring system; with the provisio that R and R_1 are different and R_2 , when different from H, is attached though a carbon-carbon bond, comprising the step of reacting a compound of the formula (2): with a halogenation agent in the presence of a catalytic amount of a chiral nitrogen containing organic compound.

